

Appl. No. : 10/802,970
Filed : March 16, 2004

AMENDMENTS TO THE SPECIFICATION

Please replace page 26, of the specification with the following replacement page:

2-(4-Chlorophenyl)-N-(4-methylbenzyl)-N-(1-cyclopentylpiperidin-4-yl)-acetamide;
2-(4-Fluorophenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;
2-(4-Chlorophenyl)-N-(4-methylbenzyl)-N-(1-(2-hydroxyethyl)-piperidin-4-yl)-acetamide;
2-(4-Chlorophenyl)-N-(4-methylbenzyl)-N-(1-cyclobutylpiperidin-4-yl)-acetamide;
2-(4-Methoxyphenyl)-N-(4-methylbenzyl)-N-(1-cyclobutylpiperidin-4-yl)-acetamide;
~~2-(4-Methoxyphenyl)-N-(4-methylbenzyl)-N-(tropin-4-yl)-acetamide~~
2-(4-Methoxyphenyl)-N-(4-methylbenzyl)-N-(8-methyl-8-aza-bicyclo[3.2.1]oct-3-yl)-acetamide;
N-(4-Methylbenzyl)-N-(1-methylpiperidin-4-yl)-N'-benzyl-carbamide;
N-(4-Methylbenzyl)-N-(1-methylpiperidin-4-yl)-N'-phenyl-carbamide;
N-Phenethyl-N-(1-methylpiperidin-4-yl)-N'-benzyl-carbamide;
2-Phenyl-N-(4-methoxybenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;
2-(4-Trifluoromethylphenyl)-N-(4-methoxybenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;
2-(4-Fluorophenyl)-N-(4-methoxybenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;
2-(4-Methoxyphenyl)-N-(4-methoxybenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;
2-(4-Methylphenyl)-N-(4-chlorobenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;
2-(4-Hydroxyphenyl)-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl)-acetamide;
N-Phenethyl-N-(1-methylpiperidin-4-yl)-N'-phenyl-carbamide;
N-(3-Phenylpropyl)-N-(1-methylpiperidin-4-yl)-N'-benzyl-carbamide;
N-(3-Phenylpropyl)-N-(1-methylpiperidin-4-yl)-N'-phenyl-carbamide;

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2-(4-Methoxyphenyl)-2,2-ethylene-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl) acetamide;

2-(4-Methoxyphenyl)-N-alpha-methylbenzyl-N-(1-methylpiperidin-4-yl) acetamide;

2-(4-Methoxyphenyl)-N-(4-methylbenzyl)-N-(3-tropen-4-yl) acetamide
2-(4-Methoxyphenyl)-N-(4-methylbenzyl)-N-(8-methyl-8-aza-bicyclo[3.2.1]octen-3-yl) acetamide;

2-Phenyl-2-ethyl-N-(4-methylbenzyl)-N-(1-methylpiperidin-4-yl) acetamide;

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Please replace the paragraph beginning on page 103, line 10, of the specification with the following paragraph:

Example 109 - (47AKU-21) 2-(4-Methoxyphenyl)-N-(4-methylbenzyl)-N-(tropin-4-yl)-acetamide- 2-(4-Methoxyphenyl)-N-(4-methylbenzyl)-N-(8-methyl-8-aza-bicyclo[3.2.1]oct-3-yl)-acetamide (47AKU-21)

4-(4-Methylbenzylamino)-tropane (47AKU-17)

4-Methylbenzylamine (607 mg, 5.0 mmol) was dissolved in 10 ml methanol and placed in 100 ml flask. Tropinone (697 mg, 5.0 mmol) in 10 ml methanol was added. Acetic acid (0.75 ml) was added until pH~5. NaCNBH₃ (628 mg, 10 mmol) was slowly added. Gas evolution observed. After 20 hrs magnetic stirring dichloromethane, 2M NaOH and water were added until pH~10. Phases were separated and aq. phase was then re-extracted with dichloromethane. Combined organic phases were dried over MgSO₄. Concentration on Rotavapor (40° C.) yielded 1.14 g crude 47AKU-17. TLC (10% methanol in dichloromethane): R^f=0.4. HPLC-MS (Method A): M⁺ =245.2 (UV/MS(%)=65/96).

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Please replace the paragraph beginning on page 110, line 28 of the specification with the following paragraph:

Example 124 - 2-(4-Methoxyphenyl)-N-(4-methylbenzyl)-N-(3-tropen-4-yl)-acetamide-2-(4-Methoxyphenyl)-N-(4-methylbenzyl)-N-(8-methyl-8-aza-bicyclo[3.2.1]octen-3-yl)-acetamide (47AKU-39)

4-Methylbenzylimino-tropane (47AKU-38)

4-Methylbenzylamine (1.21 g, 10 mmol) and Tropinone (1.39 g, 10 mmol) were placed in 100 ml flask and dissolved in 50 ml toluene. Mixture was heated to reflux for 3 hrs and water was removed using a Dean/Stark water-separator. Crude product was concentrated on Rotavapor (40° C.) giving 47AKU-38. TLC (10% methanol in dichloromethane): $R_f = 0.3$. 1H -NMR (400 MHz, CDCl₃, isomers): 7.20-7.09 (4H, m); 4.47 (1H, m); 3.81 (1H, s); 3.42 (1H, m); 3.31 (1H, m); 2.77-2.56 (2H, m); 2.47 and 2.41 (3H, 2s); 2.33 and 2.31 (3H, 2s); 2.27-1.97 (4H, m); 1.69-1.54 (2H, m).